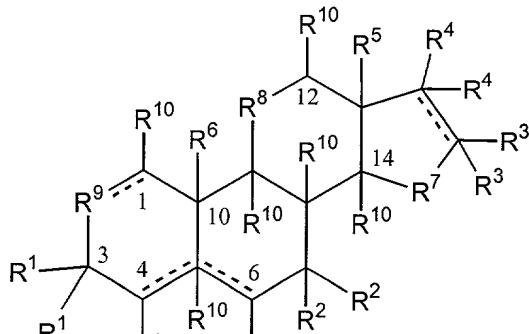


CLAIMS

[001529] What is claimed is:

[001530] 1. A method to treat a blood cell deficiency in a subject in need thereof comprising administering to the subject, or delivering to the subject's tissues, an effective 5 amount of a compound of formula 1



1

[001531]

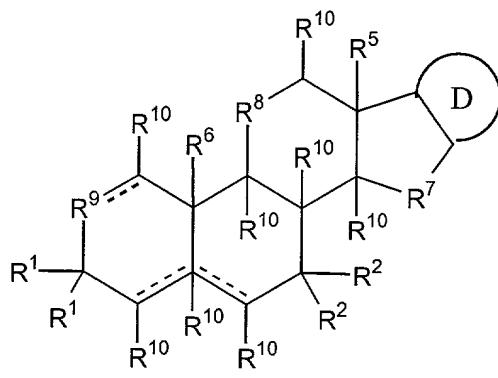
[001532] wherein, each R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>10</sup> independently are -H, OH, -OR<sup>PR</sup>, -SR<sup>PR</sup>, -N(R<sup>PR</sup>)<sub>2</sub>, -O-Si-(R<sup>13</sup>)<sub>3</sub>, -CHO, -CHS, -CH=NH, -CN, -SCN, -NO<sub>2</sub>, -OSO<sub>3</sub>H, -OPO<sub>3</sub>H, an ester, a thioester, a thionoester, a phosphoester, a phosphothioester, a phosphonoester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, 10 a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a halogen, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted heterocycle, an optionally substituted phosphine, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a halogen, an 15 optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted heterocycle, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide, a polymer, or,

[001533] one or more of both R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> or R<sup>4</sup> together comprise an independently selected spiro ring, or

[001534] one or more of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>10</sup> are =O, =S, =N-OH, =CH<sub>2</sub>, or a 20 spiro ring and the hydrogen atom or the second variable group that is bonded to the same carbon atom is absent, or,

[001535] one or more of two adjacent R<sup>1</sup>-R<sup>6</sup> and R<sup>10</sup> comprise an independently selected an acetal, a thioacetal, ketal or thioketal, or

[001536] all R<sup>3</sup> and R<sup>4</sup> together comprise a structure of formula 2



2;

**[001537]**  $R^7$  is  $-C(R^{10})_{2-}$ ,  $-C(R^{10})_{2-}C(R^{10})_{2-}$ ,  $-C(R^{10})_{2-}C(R^{10})_{2-}C(R^{10})_{2-}$ ,  $-C(R^{10})_{2-}O-C(R^{10})_{2-}$ ,  $-C(R^{10})_{2-}S-C(R^{10})_{2-}$ ,  $-C(R^{10})_{2-}NR^{PR}-C(R^{10})_{2-}$ ,  $-O-$ ,  $-O-C(R^{10})_{2-}$ ,  $-S-$ ,  $-S-C(R^{10})_{2-}$ ,  $-NR^{PR}-$  or  $-NR^{PR}-C(R^{10})_{2-}$ ;

5 **[001538]**  $R^8$  and  $R^9$  independently are  $-C(R^{10})_{2-}$ ,  $-C(R^{10})_{2-}C(R^{10})_{2-}$ ,  $-O-$ ,  $-O-C(R^{10})_{2-}$ ,  $-S-$ ,  $-S-C(R^{10})_{2-}$ ,  $-NR^{PR}-$  or  $-NR^{PR}-C(R^{10})_{2-}$ , or one or both of  $R^8$  or  $R^9$  independently are absent, leaving a 5-membered ring;

**[001539]**  $R^{13}$  independently is  $C_{1-6}$  alkyl;

**[001540]**  $R^{PR}$  independently is  $-H$  or a protecting group;

10 **[001541]** D is a heterocycle or a 4-, 5-, 6- or 7-membered ring that comprises saturated carbon atoms, wherein 1, 2 or 3 ring carbon atoms of the 4-, 5-, 6- or 7-membered ring are optionally independently substituted with  $-O-$ ,  $-S-$  or  $-NR^{PR}-$  or where 1, 2 or 3 hydrogen atoms of the heterocycle or where 1, 2 or 3 hydrogen atoms of the 4-, 5-, 6- or 7-membered ring are substituted with  $-OH$ ,  $-OR^{PR}$ ,  $-SR^{PR}$ ,  $-N(R^{PR})_2$ ,  $-O-Si-(R^{13})_3$ ,  $-CHO$ ,  $-CHS$ ,  $-CH=NH$ ,  $-$

15  $CN$ ,  $-SCN$ ,  $-NO_2$ ,  $-OSO_3H$ ,  $-OPO_3H$ , an ester, a thioester, a thionoester, a phosphoester, a phosphothioester, a phosphinester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a halogen, an optionally substituted alkyl group, an optionally substituted alkenyl group, an

20 optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted heterocycle, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer, or,

**[001542]** one more of the ring carbons in D are substituted with  $=O$ ,  $=S$ ,  $=N-OH$ ,  $=CH_2$ , or a spiro ring, or

25 **[001543]** one or more of two adjacent ring carbons in D comprise an independently selected acetal, thioacetal, ketal or thioketal, or

[001544] D comprises two 5- or 6-membered rings, wherein the rings are fused or are linked by 1 or 2 bonds, or a metabolic precursor or a biologically active metabolite thereof, provided that the compound is not 5-androstene-3 $\beta$ -ol-17-one, 5-androstene-3 $\beta$ ,17 $\beta$ -diol, 5-androstene-3 $\beta$ ,7 $\beta$ ,17 $\beta$ -triol or a derivative of any of these three compounds that can convert

5 to these compounds by hydrolysis.

[001545] 2. The method of claim 1 wherein one or two R<sup>10</sup> at the 1, 4, 6, 8, 9, 12 and 14 positions is not -H.

[001546] 3. The method of claim 2 wherein the one or two R<sup>10</sup> at the 1, 4, 6, 8, 9, 12 and 14 positions are independently selected from -F, -Cl, -Br, -I, -OH, =O, -CH<sub>3</sub>, -C<sub>2</sub>H<sub>5</sub>, an 10 ether optionally selected from -OCH<sub>3</sub> and -OC<sub>2</sub>H<sub>5</sub>, and an ester optionally selected from -O-C(O)-CH<sub>3</sub> and -O-C(O)-C<sub>2</sub>H<sub>5</sub>.

[001547] 4. The method of claim 3 wherein the one or two R<sup>10</sup> at the 1, 4, 6, 8, 9, 12 and 14 positions are independently selected from -F and -OH.

[001548] 5. The method of claim 4 wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are independently 15 selected from -H, -OH, =O, an ester and an ether.

[001549] 6. The method of claim 1 wherein the subject has thrombocytopenia or neutropenia.

[001550] 7. The method of claim 1 wherein the subject's circulating platelets, red 20 cells, mature myelomonocytic cells, or their precursor cells, in circulation or in tissue is detectably increased.

[001551] 8. The method of claim 7 wherein the subject's circulating platelets are detectably increased.

[001552] 9. The method of claim 7 wherein the subject's circulating myelomonocytic cells are detectably increased.

25 [001553] 10. The method of claim 7 wherein the circulating myelomonocytic cells are neutrophils.

[001554] 11. The method of claim 7 wherein the myelomonocytic cells are basophils, neutrophils or eosinophils.

30 [001555] 12. The method of claim 7 wherein the subject's circulating red cells are detectably increased.

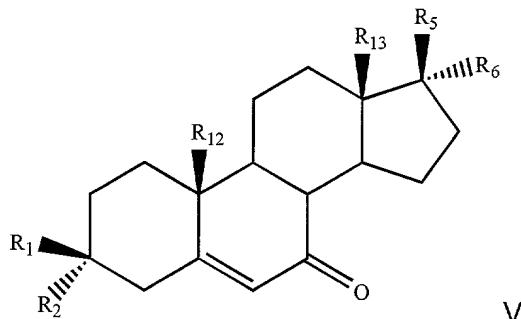
[001556] 13. The method of claim 7 wherein the subject has renal failure.

[001557] 14. The method of claim 7 further comprising the steps of obtaining blood from the subject before administration of the formula 1 compound and measuring the

subject's white or red cell counts and optionally, on one, two, three or more occasions, measuring the subject's circulating white cell or red cell counts after administration of the formula 1 compound.

5 [001558] 15. The method of claim 14 wherein the subject's white or red cell counts are measured on one, two, three or more occasions within about 12 weeks after an initial administration of the formula 1 compound.

[001559] 16. A compound of formula V

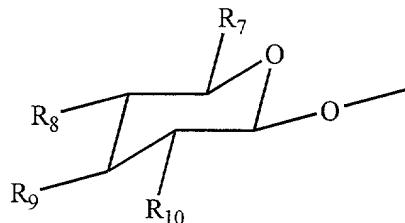


[001560]

[001561] or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof,

10 [001562] wherein

[001563] (a) R<sub>1</sub> and R<sub>2</sub> are each independently selected from the group consisting of a hydrogen atom and a glucuronide group having the formula



[001564]

[001565] 15. wherein (i) R<sub>7</sub> is an alkyl ester wherein the alkyl moiety is optionally substituted, and (ii) R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> are each -OR<sub>14</sub>, wherein R<sub>14</sub> is a hydrogen atom or a protected hydroxy, optionally substituted alkyl, cycloalkyl; and (iii) at least one of R<sub>1</sub> or R<sub>2</sub> is not hydrogen;

[001566] 20. (b) R<sub>5</sub> and R<sub>6</sub> are each independently selected from the group consisting of a hydrogen atom, optionally substituted alkyl, hydroxy, and a protected hydroxy; or R<sub>5</sub> and R<sub>6</sub> taken together are a ketone group (=O); and

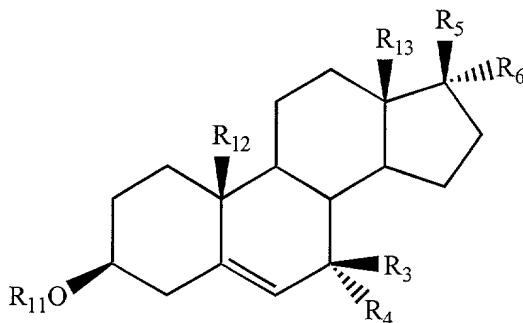
[001567] (c) R<sub>12</sub> and R<sub>13</sub> are each independently selected from the group consisting of a hydrogen atom, optionally substituted alkyl, hydroxy, and a protected hydroxy.

[001568] 17. The compound of claim 16, wherein said protected hydroxy is an ester or wherein one of R<sub>1</sub> and R<sub>2</sub> is -H and the other one of R<sub>1</sub> and R<sub>2</sub> is the glucuronide group.

[001569] 18. The compound of claim 16, wherein R<sub>12</sub> and R<sub>13</sub> are methyl.

[001570] 19. A composition comprising a compound of claim 16 and one or more excipients.

[001571] 20. A compound of formula VII



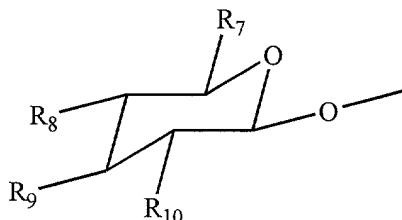
VII

5 [001572]

[001573] or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof,

[001574] wherein

[001575] (a) R<sub>3</sub> and R<sub>4</sub> are each independently selected from the group consisting of a hydrogen atom and a glucuronide group having the formula



10 [001576]

[001577] wherein (i) R<sub>7</sub> is an alkyl ester wherein the alkyl moiety is optionally substituted, and (ii) R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> are each -OR<sub>14</sub>, wherein R<sub>14</sub> is a hydrogen atom, optionally substituted alkyl, cycloalkyl, or a protected hydroxy; and (iii) at least one of R<sub>3</sub> and R<sub>4</sub> is not hydrogen;

15 [001578] (b) R<sub>5</sub> and R<sub>6</sub> are each independently selected from the group consisting of a hydrogen atom, optionally substituted alkyl, hydroxy, and a protected hydroxy; or R<sub>5</sub> and R<sub>6</sub> taken together are =O;

[001579] (c) R<sub>11</sub> is a hydrogen atom or a protected hydroxy; and

20 [001580] (d) R<sub>12</sub> and R<sub>13</sub> are each independently selected from the group consisting of a hydrogen atom, optionally substituted alkyl, hydroxy, and a protected hydroxy.

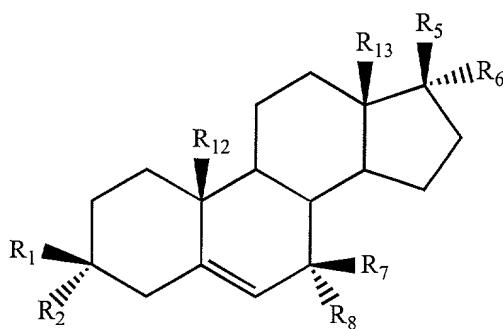
[001581] 21. The compound of Claim 20, wherein one of R<sub>3</sub> and R<sub>4</sub> is a hydrogen atom and the other one of R<sub>1</sub> and R<sub>2</sub> is the glucuronide.

[001582] 22. The compound of Claim 20, wherein one of R<sub>5</sub> and R<sub>6</sub> is a hydrogen atom and the other one of R<sub>5</sub> and R<sub>6</sub> is acetoxy.

[001583] 23. The compound of Claim 20, wherein R<sub>12</sub> and R<sub>13</sub> are methyl.

[001584] 24. The compound of claim 20 selected from the group consisting of methyl-2,3,4-tri-O-acetyl-1-O-(3 $\beta$ ,17 $\beta$ -diacetoxyandrost-5-ene-7 $\beta$ -yl)- $\beta$ -D-glucopyranosiduronate, methyl 1-O-(3 $\beta$ ,17 $\beta$ -diacetoxyandrost-5-ene-7 $\beta$ -yl)- $\beta$ -D-glucopyranosiduronate, and methyl-2,3,4-tri-O-acetyl-1-O-(3 $\beta$ -acetoxy-17-oxoandrost-5-ene-7 $\alpha$ -yl)- $\beta$ -D-glucopyranosiduronate, or the pharmaceutically acceptable salt, ester, ether, amide, or prodrug thereof.

[001585] 25. A compound of formula IX



[001586]

[001587] or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof,

[001588] wherein (a) R<sub>1</sub> and R<sub>2</sub> are each independently selected from the group consisting of a hydrogen atom and -O-C(O)-OR<sub>14</sub>,

[001589] wherein (i) R<sub>14</sub> is selected from the group consisting of a hydrogen atom, optionally substituted alkyl, and carbocyclic ring (cycloalkyl); and (ii) at least one of R<sub>1</sub> or R<sub>2</sub> is not hydrogen;

[001590] (b) R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, and R<sub>8</sub> are each independently selected from the group consisting of a hydrogen atom, optionally substituted alkyl, hydroxy, -O-C(O)-OR<sub>14</sub>, and a protected hydroxy; or R<sub>5</sub> and R<sub>6</sub> taken together form an oxygen atom, which, together with the carbon atom to which R<sub>5</sub> and R<sub>6</sub> are joined, forms a ketone group; or R<sub>7</sub> and R<sub>8</sub> taken together form an oxygen atom, which, together with the carbon atom to which R<sub>7</sub> and R<sub>8</sub> are joined, forms a ketone group; and

[001591] (c) R<sub>12</sub> and R<sub>13</sub> are each independently selected from the group consisting of a hydrogen atom, optionally substituted alkyl, hydroxy, and a protected hydroxy.

[001592] 26. The compound of claim 25, wherein the protected hydroxy is an ester.

[001593] 27. The compound of claim 25, wherein one of R<sub>1</sub> and R<sub>2</sub> is a hydrogen atom and the other one of R<sub>1</sub> and R<sub>2</sub> is -O-C(O)-OR<sub>14</sub>.

**[001594]** 28. The compound of claim 27, wherein R<sub>14</sub> is selected from the group consisting of methyl, ethyl, n-propyl, i-propyl, n-butyl, sec-butyl, t-butyl, pentyl, hexyl, n-octyl, n-dodecyl, 1-ethoxyethyl, 9-fluorenylmethyl, -CH<sub>2</sub>-C(O)CH<sub>3</sub> and -C(O)CH<sub>3</sub>.

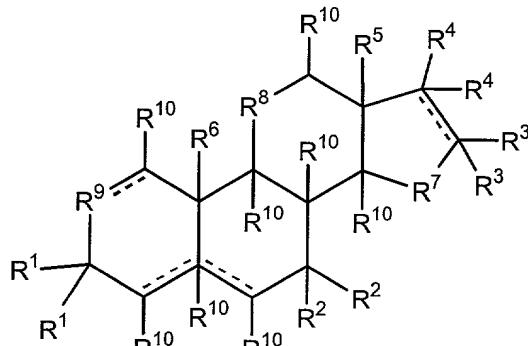
**[001595]** 29. The compound of claim 25, wherein R<sub>5</sub> and R<sub>6</sub> are each independently selected from the group consisting of -H, -OH, -O-C(O)-OCH<sub>3</sub>, -O-C(O)-OC<sub>2</sub>H<sub>5</sub>, -O-C(O)-OC<sub>3</sub>H<sub>7</sub>, -O-C(O)-OC<sub>4</sub>H<sub>9</sub>, -O-C(O)-OCH<sub>2</sub>C<sub>2</sub>H<sub>5</sub>, -O-C(O)-OCH<sub>2</sub>C<sub>3</sub>H<sub>5</sub> and -O-C(O)-O-(CH<sub>2</sub>)<sub>2</sub>-O-C<sub>2</sub>H<sub>5</sub> or together are =O.

**[001596]** 30. The compound of claim 25, wherein R<sub>12</sub> and R<sub>13</sub> are methyl.

**[001597]** 31. The compound of claim 25 selected from the group consisting of 3 $\beta$ -carbomethoxyandrost-5-ene-7,17-dione, 3 $\beta$ -carboallyloxyandrost-5-ene-7,17-dione, 3 $\beta$ -carboethoxyandrost-5-ene-7,17-dione, 3 $\beta$ -carboisobutoxyandrost-5-ene-7,17-dione, 3 $\beta$ ,17 $\beta$ -dicaromethoxyandrost-5-ene-7-one, 3 $\beta$ -carbooctyloxyandrost-5-ene-7,17-dione, 3 $\beta$ -carbo(9-fluorenyl)methoxyandrost-5-ene-7,17-dione, 3 $\beta$ -carbomethoxyandrost-5-ene-7,17 $\beta$ -diol, 3 $\beta$ -carboethoxyandrost-5-ene-7 $\beta$ ,17 $\beta$ -diol, and 3 $\beta$ -carbooctyloxyandrost-5-ene-7 $\beta$ ,17 $\beta$ -diol, or the pharmaceutically acceptable salt, ester, ether, amide, or prodrug thereof.

**[001598]** 32. A composition comprising a compound of claim 25 and one or more excipients.

**[001599]** 33. A method to treat a symptom or condition associated with one or more delayed adverse or unwanted effects of radiation exposure in a subject in need thereof comprising administering to the subject, or delivering to the subject's tissues, an effective amount of a compound of formula 1



**[001600]**

1

**[001601]** wherein, each R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>10</sup> independently are -H, -OH, -OR<sup>PR</sup>, -SR<sup>PR</sup>, -N(R<sup>PR</sup>)<sub>2</sub>, -O-Si-(R<sup>13</sup>)<sub>3</sub>, -CHO, -CHS, -CH=NH, -CN, -SCN, -NO<sub>2</sub>, -OSO<sub>3</sub>H, -

**25** OPO<sub>3</sub>H, an ester, a thioester, a thionoester, a phosphoester, a phosphothioester, a phosphonoester, a phosphinester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a

halogen, an optionally substituted alkyl group, an optionally substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted heterocycle, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an

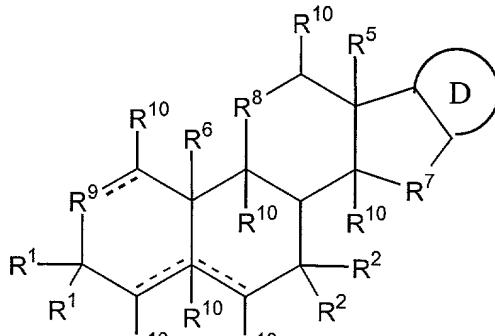
5 oligonucleotide, a polymer, or,

[001602] one or more of both R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> or R<sup>4</sup> together comprise an independently selected spiro ring, or

[001603] one more of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>10</sup> independently are =O, =S, =N-OH, =CH<sub>2</sub>, or a spiro ring, and the hydrogen atom or the second variable group that is bonded to 10 the same carbon atom is absent, or,

[001604] one or more of two adjacent R<sup>1</sup>-R<sup>6</sup> and R<sup>10</sup> comprise an independently selected acetal, thioacetal, ketal or thioketal moiety;

[001605] all R<sup>3</sup> and R<sup>4</sup> together comprise a structure of formula 2



CHS, -CH=NH, -CN, -SCN, -NO<sub>2</sub>, -OSO<sub>3</sub>H, -OPO<sub>3</sub>H, an ester, a thioester, a thionoester, a phosphoester, a phosphothioester, a phosphiniester, a sulfite ester, a sulfate ester, an amide, an amino acid, a peptide, an ether, a thioether, an acyl group, a thioacyl group, a carbonate, a carbamate, a halogen, an optionally substituted alkyl group, an optionally

5 substituted alkenyl group, an optionally substituted alkynyl group, an optionally substituted aryl moiety, an optionally substituted heteroaryl moiety, an optionally substituted heterocycle, an optionally substituted monosaccharide, an optionally substituted oligosaccharide, a nucleoside, a nucleotide, an oligonucleotide or a polymer, or,

10 [001612] one more of the ring carbons are substituted with =O, =S, =N-OH, =CH<sub>2</sub>, or a spiro ring, or

[001613] two adjacent D ring carbons comprise an independently selected acetal, thioacetal, ketal or thioketal moiety, or

15 [001614] D comprises two 5- or 6-membered rings, wherein the rings are fused or are linked by 1 or 2 bonds, and the dotted lines are optional double bonds, provided that there are not double bonds simultaneously at the 4-5 and the 5-6 positions.

[001615] wherein the formula 1 compound is administered or delivered to the subject's tissues beginning at least 1 day after the subject has been exposed to a dose of radiation that will cause or could potentially cause the one or more delayed adverse or unwanted effects of the radiation exposure or

20 [001616] wherein the formula 1 compound is administered or delivered to the subject's tissues beginning at least 1 day after the subject has been exposed to at least one subdose of a planned course of radiation exposures that will cause or could potentially cause the one or more delayed adverse effects or unwanted effects of the radiation exposure.

[001617] 34. The method of claim 33 wherein the subject has received a total radiation dose of at least about 0.5 Gy to about 300 Gy, at least about Gy 1 to about 200 Gy or at least about Gy 2 to about 150 Gy, wherein the subject received the radiation dose in a single dose or in two or more divided doses.

[001618] 35. The method of claim 33 wherein the symptom or condition associated with one or more delayed adverse effect of radiation is one or more of encephalopathy, 30 myelopathy, nausea, vomiting, diarrhea, acute inflammation, chronic inflammation, edema, pain, headache, depression, fever, malaise, weakness, hair loss, skin atrophy, skin ulceration, skin lesion, keratosis, telangiectasia, infection, hypoplasia, atrophy, fibrosis, pneumonitis, bone marrow hypoplasia, hemorrhage or cytopenia.

[001619] 36. The method of claim 35 wherein the infection is a bacterial, viral, fungal, parasite or yeast infection, or wherein the fibrosis is lung fibrosis or wherein the cytopenia is anemia, leukopenia or thrombocytopenia.

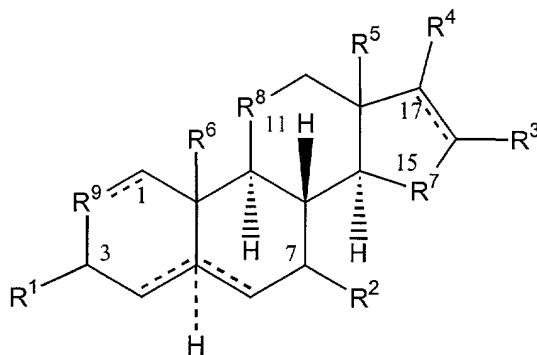
[001620] 37. The method of claim 33 wherein the symptom or condition associated with one or more delayed adverse or unwanted effect of the radiation exposure is caused by or associated with radiation damage to one or more of bone marrow cells, bowel epithelium, bone marrow, testicles, ovaries, brain nerves or tissue, peripheral nerves, spinal cord nerves or tissue or skin epithelium.

[001621] 38. The method of claim 33 wherein the subject has received or will receive a total radiation dose of at least about 0.5 Gy, at least about 2 Gy, at least about 4 Gy or at least about 6 Gy.

[001622] 39. The method of claim 33 wherein the subject has received or is anticipated to receive a total radiation dose of at least about 10 Gy, e.g., about 10, 20, 30, 40, 50, 100, 150, 200 or 300 Gy.

[001623] 40. The method of claim 33 wherein about 0.1 mg/kg/day to about 50 mg/kg/day of the formula 1 compound is administered to the subject or delivered to the subject's tissues.

[001624] 41. The method of claim 33 wherein the formula 1 compound has the structure B



B

[001625] wherein

[001626] R<sup>1</sup> is -H, -OH, =O, -SH, =S, -OCH<sub>3</sub>, -OC<sub>2</sub>H<sub>5</sub>, -O-S(O)(O)-O<sup>-</sup>Na<sup>+</sup>, -O-S(O)(O)-OC<sub>2</sub>H<sub>5</sub>, -CH<sub>3</sub>, -C<sub>2</sub>H<sub>5</sub>, -OC(O)C(CH<sub>3</sub>)<sub>3</sub>, -OC(O)CH<sub>3</sub>, an optionally substituted monosaccharide, an optionally substituted oligosaccharide comprising two, three or more covalently linked optionally substituted monosaccharides, or an amino acid;

[001627] R<sup>2</sup> is -H, -OH, =O, -CH<sub>3</sub>, -CF<sub>3</sub>, -OCH<sub>3</sub>, -OC<sub>2</sub>H<sub>5</sub>, -C<sub>2</sub>H<sub>5</sub>, -OCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -F, -Cl, -Br or -I;

[001628]  $R^3$  is -H, -F, -Cl, -Br, -I, -OH, -SH, =O, =CH<sub>2</sub>, -NH<sub>2</sub>, -CH<sub>3</sub>, -CF<sub>3</sub>, -C<sub>2</sub>H<sub>5</sub>, -O-C(O)-CH<sub>3</sub>, -O-C(O)-CH<sub>2</sub>CH<sub>3</sub>, -O-C(O)-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -C(O)-CH<sub>3</sub>, -C(O)-CH<sub>2</sub>CH<sub>3</sub>, -C(O)-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>;

[001629]  $R^4$  is -H, -F, -Cl, -Br, -I, -OH, =O, =CH<sub>2</sub>, -CCH, -SH -O-C(O)-CH<sub>3</sub>, -O-C(O)-

5 CH<sub>2</sub>CH<sub>3</sub>, -O-C(O)-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -C(O)-CH<sub>3</sub>, -C(O)-CH<sub>2</sub>CH<sub>3</sub>, -C(O)-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CHOH-CH<sub>3</sub>, -CHOH-CH<sub>2</sub>CH<sub>3</sub>, -CHOH-CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CHOH-C<sub>6</sub>H<sub>13</sub>, an optionally substituted monosaccharide, an optionally substituted oligosaccharide comprising two, three or more covalently linked optionally substituted monosaccharides or an amino acid;

[001630]  $R^5$  and  $R^6$  are independently -H, -CH<sub>3</sub>, -CH<sub>2</sub>OH, -CHO, -CH<sub>2</sub>F, -CH<sub>2</sub>Cl, -

10 CH<sub>2</sub>Br, -CH<sub>2</sub>I;

[001631]  $R^7$  is -CH<sub>2</sub>-, -CHF-, -CHCl-, -CHBr-, -CHI-, -C(CH<sub>2</sub>)- or -CH(C<sub>1</sub>-8 alkyl, e.g., -CH(CH<sub>3</sub>)-, -CH(C<sub>2</sub>H<sub>5</sub>)- or -CH(C<sub>3</sub>H<sub>7</sub>)-);

[001632]  $R^8$  is -CH<sub>2</sub>-, -CHF-, -CHCl-, -CHBr-, -CHI-, -C(CH<sub>2</sub>)-, -CH(CH<sub>3</sub>)-, -CH(C<sub>2</sub>H<sub>5</sub>)- or -CH(C<sub>3</sub>H<sub>7</sub>)-;

15 [001633]  $R^9$  is -CH<sub>2</sub>-, -CHOH-, -CHF-, -CHCl-, -CHBr-, -CHI-, -C(CH<sub>2</sub>)-, -CH(CH<sub>3</sub>)-, -CH(C<sub>2</sub>H<sub>5</sub>)-, -CH(C<sub>3</sub>H<sub>7</sub>)-, -CH(OCH<sub>3</sub>)-, -CH(OC<sub>2</sub>H<sub>5</sub>)- or -CH(OC<sub>3</sub>H<sub>7</sub>)-; and

[001634] the hydrogen atom at the 5-position, if present, is in the  $\alpha$ - or  $\beta$ -configuration.

[001635] 42. The method of claim 41 wherein  $R^1$ , if monovalent, is in the  $\beta$ -configuration.

20 [001636] 43. The method of claim 41 wherein  $R^1$ , if monovalent, is in the  $\alpha$ -configuration.

[001637] 44. The method of claim 41 wherein  $R^7$ ,  $R^8$  and  $R^9$  independently are -CH<sub>2</sub>-, -CHF-, -CHCl-, -CHBr-, -CHI-, -CH(C<sub>1</sub>-8 alkyl)- or -CHOH-.

[001638] 45. The method of claim 41 wherein the formula 1 compound is 16 $\alpha$ -bromoepiandrosterone, 16 $\alpha$ -bromoepiandrosterone hemihydrate, 16 $\alpha$ -hydroxyepiandrosterone, 3 $\alpha$ ,16 $\alpha$ -dihydroxy-5 $\alpha$ -androstane-17-one, 3 $\alpha$ ,16 $\alpha$ ,17 $\beta$ -trihydroxy-5 $\alpha$ -androstane, 3 $\alpha$ ,16 $\alpha$ ,17 $\alpha$ -trihydroxy-5 $\alpha$ -androstane, 3 $\beta$ ,17 $\beta$ -dihydroxyandrost-5-ene or 3 $\beta$ ,7 $\beta$ ,17 $\beta$ -trihydroxyandrost-5-ene, 7-oxodehydroepiandrosterone, 16 $\alpha$ -fluoroandrost-5-ene-17-one, 7 $\alpha$ -hydroxy-16 $\alpha$ -fluoroandrost-5-ene-17-one, 7 $\beta$ -hydroxy-16 $\alpha$ -fluoroandrost-5-ene-17-one, 3 $\alpha$ -hydroxy-16 $\alpha$ -fluoroandrost-5-ene-17-one, 3 $\beta$ -hydroxy-16 $\alpha$ -fluoroandrost-5-ene-17-one, 3 $\beta$ ,7 $\beta$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene-17-one, 3 $\beta$ ,7 $\alpha$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene-17-one, 3 $\alpha$ ,7 $\beta$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene-17-one, 3 $\alpha$ ,7 $\alpha$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene-17-one, 7 $\beta$ ,17 $\beta$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene,

7 $\alpha$ ,17 $\beta$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene, 7 $\beta$ ,17 $\alpha$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene,  
7 $\alpha$ ,17 $\alpha$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene, 3 $\beta$ ,17 $\beta$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene,  
3 $\alpha$ ,17 $\beta$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene, 3 $\beta$ ,17 $\alpha$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene,  
3 $\alpha$ ,17 $\alpha$ -dihydroxy-16 $\alpha$ -fluoroandrost-5-ene, 17 $\alpha$ -hydroxy-16 $\alpha$ -fluoroandrost-5-ene, 17 $\beta$ -  
5 hydroxy-16 $\alpha$ -fluoroandrost-5-ene or an ester, ether, sulfate or glucuronide of any of these  
compounds having a hydroxyl moiety.